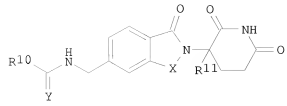
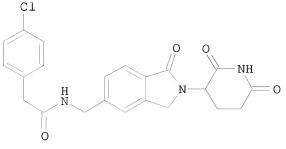
```
=> s angioge?(l)piperidin?
         61790 ANGIOGE?
        107479 PIPERIDIN?
L1         158 ANGIOGE?(L)PIPERIDIN?

=> s l1 and us/pc
       2008892 US/PC
L2           97 L1 AND US/PC

=> s l2 and benzoy?
         135568 BENZOY?
L3           26 L2 AND BENZOY?

=> d bib abs 1-26

L3   ANSWER 1 OF 26  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2009:679387  CAPLUS
DN   150:563659
TI   Preparation of 2-(2,6-dioxo-3-piperidinyl)-1-oxo- and
     1,3-dioxoisoindolines as TNFα inhibitors
IN   Muller, George W.; Chen, Roger Shen-Chu; Ruchelman, Alexander L.
PA   USA
SO   U.S. Pat. Appl. Publ., 117pp., Cont.-in-part of U.S. Ser. No. 897,339.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
PI   US 20090142297      A1     20090604    US 2008-130445         20080530 <--
PRAI US 2007-925513P     P      20070420
     US 2007-937782P     P      20070628
     US 2007-897339      A2     20070831
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS   MARPAT 150:563659
GI
```



I



II

AB Title compds. I [X = CH2 or C(O); Y = O or S; R10 = alkyl, alkoxy, (un)substituted alkyl-(5- to 10-membered heteroaryl or heterocycle), alkyl-(5- to 10-membered aryl), or alkyl-CO-O-R12, wherein R12 = H or alkyl; R11 = H or alkyl], and their pharmaceutically acceptable salts, solvates, stereoisomers, or prodrugs, are prepared and disclosed for preventing or treating diseases or conditions related to an abnormally high level or activity of TNFα. Thus, e.g., II was prepared by condensation reaction of 3-(5-aminomethyl-1-oxo-1,3-dihydroisoindol-2-yl) piperidine-2,6-dione hydrochloride with 4-chlorophenylacetyl chloride. II exhibited IC50 value of in the range of 0.002 to 15 μM in TNFα inhibition assay in PMBC. As TNFα inhibitors, I and pharmaceutical compns. comprising them are useful for treating or preventing diseases, e.g. cancer, angiogenesis, pain, macular degeneration, etc.

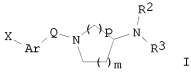
L3 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:770464 CAPLUS
DN 149:104603
TI Preparation of piperidine and pyrrolidine derivatives as cytoskeletal active Rho kinase inhibitor compounds
IN Lampe, John W.; Watson, Paul S.; Slade, David J.; Peterson, Ward M.; Crean, Christopher S.; Vittitow, Jason L.; DeCamp, Jonathan Bryan; Pelz, Nicholas F.
PA Inspire Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008077057	A2	20080626	WO 2007-US87973	20071218
	WO 2008077057	A3	20080821		

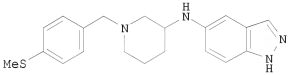
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,

KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080214614 A1 20080904 US 2007-958214 20071217 <--
AU 2007333715 A1 20080626 AU 2007-333715 20071218
CA 2672825 A1 20080626 CA 2007-2672825 20071218
KR 20090091767 A 20090828 KR 2009-7012595 20071218
EP 2099457 A2 20090916 EP 2007-869450 20071218
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR
CN 101583361 A 20091118 CN 2007-80049608 20090709
PRAI US 2006-870555P P 20061218
US 2007-958214 A 20071217
WO 2007-US87973 W 20071218
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 149:104603
GI



I



II

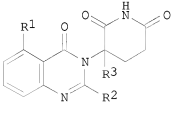
AB The invention is directed to synthetic cytoskeletal active compds. that are inhibitors of Rho-associated protein kinase and to pharmaceutical compns. comprising such compds. and a pharmaceutically acceptable carrier. The invention is addnl. directed to a method of preventing or treating diseases or conditions associated with cytoskeletal reorganization. The method treats increased intraocular pressure, such as primary open-angle glaucoma. The method comprises a therapeutically effective amount of a cytoskeletal active compound of formula I, wherein said amount is effective to influence the actomyosin interactions, for example by leading to cellular relaxation and alterations in cell-substratum adhesions. Compds. of formula I [Q = CO, SO2 or (CR4R5)n; m = 1-3; p = 1-2; n = 0-3; R2 = (un)substituted indazolyl, isoquinolinyl, pyridinyl, etc.; Ar = monocyclic or bicyclic aryl or heteroaryl; X = Y-Z; Y = OR8, NR8R9, SR8, SOR8, etc.; Z = absent; R3, R4 and R5 independently = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R8 and R9 independently = H, (un)substituted alkyl, alkenyl, alkynyl, aryl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed. Thus, e.g., II was prepared by reductive amination of 4-(methylthio)benzaldehyde with 2,2-dimethyl-1-[5-[(piperidin-3-yl)amino]-1H-indazol-1-yl]propan-1-one (preparation given) followed by BOC-deprotection. I were evaluated for their ROCK2 inhibitory activity in Rho kinase inhibition assay. From the assay,

I demonstrated the ability to inhibit ROCK2 in vitro with IC50 value of < 10 μM, e.g., II showed IC50 of 65.8 nM.

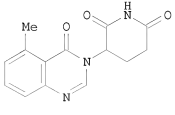
L3 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:410448 CAPLUS
DN 148:403237
TI Preparation of (oxoquinazolinyl)piperidinedione derivatives for use as therapeutic agents
IN Muller, George W.; Man, Hon-Wah
PA Celgene Corporation, USA
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008039489	A2	20080403	WO 2007-US20765	20070925
	WO 2008039489	A3	20080828		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
	CA 2663731	A1	20080403	CA 2007-2663731	20070925
	EP 2066656	A2	20090610	EP 2007-838876	20070925
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
	US 20080161328	A1	20080703	US 2007-904551	20070926 <--
	MX 2009003038	A	20090415	MX 2009-3038	20090320
	KR 2009061061	A	20090615	KR 2009-708299	20090423
	CN 101535291	A	20090916	CN 2007-80042615	20090515
PRAI	US 2006-847471P	P	20060926		
	WO 2007-US20765	W	20070925		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 148:403237; MARPAT 148:403237
GI



I



II

AB Title compds. I [R1 = H, halo, (CH2)nOH, (un)substituted alkyl, etc.; R2 = H, (CH2)nOH, Ph, alkoxy, (un)substituted alkyl; R3 = H or (un)substituted alkyl; n = 0 to 2], and their pharmaceutically acceptable salts, are prepared and disclosed as therapeutic agents. Thus, e.g., II was prepared by

condensation of 2-amino-6-methylbenzoic acid with 3-aminopiperidine-2,6-dione hydrochloride followed by heterocyclization with tri-Me orthoformate. I were evaluated in TNFα inhibition assays (no data given). I were disclosed as therapeutic agents for cancer, disorders associated with angiogenesis, pain, macular degeneration or related syndromes, skin disease, pulmonary disorder, asbestos-related disorder, parasitic disease, etc.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L3 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:944197 CAPLUS
DN 147:292190
TI Synthesis of benzo[c]chromen-6-one derivatives and analogs for treatment of diseases characterized by cellular proliferation and angiogenesis
IN Sherris, David I.
PA Paloma Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 33pp., Cont.-in-part of U.S. Ser. No. 412,618.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070197567	A1	20070823	US 2007-680292	20070228 <--
	US 20060257337	A1	20061116	US 2006-412618	20060427 <--
	IN 2008DN07981	A	20090612	IN 2008-DN7981	20080923
	NO 2008004077	A	20081128	NO 2008-4077	20080924
PRAI	US 2005-675707P	P	20050428		
	US 2006-777318P	P	20060228		
	US 2006-412618	A2	20060427		
	WO 2007-US62971	W	20070228		

OS MARPAT 147:292190
AB Described herein are compns. and methods for preventing and/or treating diseases involving aberrant angiogenesis employing one or more benzo[c]chromen-6-one derivs. and analogs. These compds. showed antitumor and anti-angiogenic activities. The preparation of these compds. is given.

L3 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:873163 CAPLUS
DN 147:257752
TI Preparation of heterocyclic compounds as integrin inhibitors for disease treatment and diagnosis
IN Zischinsky, Gunther; Stragies, Roland; Osterkamp, Frank; Scharn, Dirk; Hummel, Gerd; Kalkhof, Holger; Zahn, Grit; Vossmeyer, Doerte; Christner-Albrecht, Claudia; Reineke, Ulrich
PA Jerini A.-G., Germany
SO PCT Int. Appl., 224pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007088041	A1	20070809	WO 2007-EP832	20070131
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			

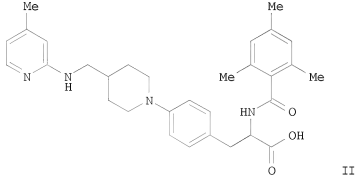
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU	2007211620	A1	20070809	AU 2007-211620	20070131
CA	2635403	A1	20070809	CA 2007-2635403	20070131
EP	1979342	A1	20081015	EP 2007-711423	20070131
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP	2009525296	T	20090709	JP 2008-552739	20070131
ZA	2008004932	A	20090624	ZA 2008-4932	20080604
MX	2008008866	A	20081023	MX 2008-8866	20080709
KR	2008095854	A	20081029	KR 2008-717090	20080714
IN	2008MN01615	A	20090116	IN 2008-MN1615	20080729
CN	101379056	A	20090304	CN 2007-80004060	20080731
US	20090104116	A1	20090423	US 2008-162798	20080731 <--
PRAI EP	2006-2005	A	20060131		
WO	2007-EP832	W	20070131		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 147:257752

GI



II

AB The present invention is related to a compound of formula G-Z-A-Ar-Y-Ψ (I), wherein A is a nonarom. heterocyclic ring.; Ar is either absent or phenylene; G is a radical containing one or more moieties selected from the group consisting of NH, OH and a basic moiety; Z and Y are alkyl chains containing O, S, N, etc.; Ψ is a radical of general formula C(R1)-C(R4)(COR3)-Q-R2 (wherein R1 is H alkyl, cycloalkyl, etc., R2 is a hydrophobic moiety; R3 is OH C1-C8 alkyloxy, and aryl C0-C6 alkyloxy; R4 is H, halo, or C1-C4 alkyl; Q is CO, CS, etc.). The compds. are inhibitors of integrins, especially antagonists of the fibronectin receptor α5β1, useful as anti- angiogenic agents. Preparation of I is exemplified. For example, II was prepared in a multistep synthesis involving the key step of reacting 3-(4-boronophenyl)-2-(2,4,6-trimethylbenzoylamino)propionic acid and (4-methylpyridin-2-yl)piperidin-4-ylmethylcarbamic acid tert-Bu ester. In an α5β1-fibronectin binding assay, II had an IC50 of < 100 nM. I can comprise a further moiety, preferably a moiety which is selected from the group comprising a targeted moiety, a delivery moiety, and a detection moiety.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

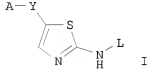
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

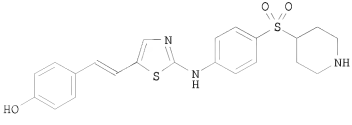
L3 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:538388 CAPLUS
DN 146:521787
TI Thiazoles as inhibitors targeting resistant and kinase mutations and their preparation and use in the treatment of angiogenic-associated or hematological disorders
IN Cao, Jianguo; Hood, John; Lohse, Dan; Mak, Chi Ching; Mc Pherson, Andrew; Noronha, Glenn; Pathak, Ved; Renick, Joel; Soll, Richard M.; Zeng, Binqi; Chow, Chun; Palanki, Moorthy; Dneprovskaia, Elena
PA Targegen, Inc., USA
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007056023	A2	20070518	WO 2006-US42697	20061031
WO 2007056023	A3	20071018		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20070149508	A1	20070628	US 2006-591076	20061031 <--
US 20070161645	A1	20070712	US 2006-591252	20061031 <--
PRAI US 2005-733115P	P	20051102		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 146:521787
GI



I



II

AB A compound is provided, having the general structure I. Compds. of formula I wherein L is substituted (hetero)aryl; A is (un)substituted

(hetero)aryl; Y is CH2CH2 and CH=CH; are claimed. The compound I can be used for treatment of various angiogenic-associated or hematol. disorders, such as myeloproliferative disorders in patients who do not respond to kinase-inhibition therapy that comprises administering currently used medications. Example compound II was prepared by coupling of 5-((E)-4-methoxystyryl)thiazol-2-amine with tert-Bu 4-(4-bromophenylsulfonyl)piperidine-1-carboxylate. All the invention compds. were evaluated for their kinase activity (data given).

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L3 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:1204278 CAPLUS
DN 145:511652
TI Compositions of benzo(c)chromen-6-ones for treatment of skin diseases characterized by cellular proliferation and angiogenesis
IN Sherris, David
PA Paloma Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 26 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060257337	A1	20061116	US 2006-412618	20060427 <--
	CA 2651244	A1	20071122	CA 2006-2651244	20061012
	WO 2007133249	A3	20090219	WO 2006-US40242	20061012
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AP, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, EA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, EP, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, OA, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	JP 2009535331	T	20091001	JP 2009-507662	20061012
	US 20070197567	A1	20070823	US 2007-680292	20070228 <--
	AU 2007219981	A1	20070907	AU 2007-219981	20070228
	CA 2643579	A1	20070907	CA 2007-2643579	20070228
	WO 2007101247	A2	20070907	WO 2007-US62971	20070228
	WO 2007101247	A3	20071213		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	EP 1996021	A2	20081203	EP 2007-757634	20070228
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	JP 2009528381	T	20090806	JP 2008-557486	20070228

	MX 2008011013	A	20081023	MX 2008-11013	20080827
	CN 101431893	A	20090513	CN 2007-80014874	20081024
	NO 2008004974	A	20090127	NO 2008-4974	20081126
	CN 101484125	A	20090715	CN 2006-80055090	20081224
PRAI	US 2005-675707P	P	20050428		
	US 2006-777318P	P	20060228		
	US 2006-412618	A	20060427		
	WO 2006-US40242	W	20061012		
	WO 2007-US62971	W	20070228		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Preparation and compns. of benzo(c)chromen-6-ones and methods for preventing and/or treating skin diseases associated with cellular proliferation and/or angiogenesis are provided. Skin diseases that are the object of the present invention include, but are not limited to psoriasis and atopic dermatitis, as well as skin aging providing anti-aging benefits which results in reduced appearance of wrinkles and aged skin, improved skin color, treatment of photodamaged skin, improvement in skin's radiance and clarity and finish, and an overall healthy and youthful appearance of the skin, involving aberrant angiogenesis and hyperplasia. Thus, an antiangiogenic activity of SG00529 (preparation given) was evaluated in vitro my measuring an inhibition of proliferation of endothelial cells using HUVEC cells and lack of binding to human estrogen receptors (hER) α and β. At concns. of 3 mM and 0.3 mM, SG00529 inhibited proliferation of endothelial cells by 113% and 65%, resp., and did not bind to hERα and hERβ.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L3 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:1292167 CAPLUS
DN 144:36369
TI Preparation of quinone substituted quinazoline and quinoline kinase inhibitors for treatment of angiogenesis-related diseases
IN Floyd, Middleton B., Jr.; Nittoli, Thomas; Wissner, Allan; Dushin, Russell George; Nilakantan, Ramaswamy; Ingalls, Charles; Fraser, Heidi Leigh; Johnson, Bernard Dean
PA Wyeth, USA
SO PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005115145	A2	20051208	WO 2005-US16800	20050511
	WO 2005115145	A3	20060223		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004305612	A1	20050331	AU 2004-305612	20040812
	AU 2004305612	B2	20090625		
	WO 2005029647	A1	20050331	WO 2004-EP9002	20040812
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

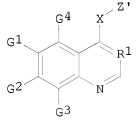
CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

EP 1658660 B1 20071010 EP 2004-764006 20040812
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK
AT 375610 T 20071015 AT 2004-764006 20040812
ES 2293320 T3 20080316 ES 2004-764006 20040812
NZ 545051 A 20080630 NZ 2004-545051 20040812
TW 239125 B 20050901 TW 2004-93125666 20040826
US 20060286824 A1 20061221 US 2006-569306 20060221 <--
US 7407389 B2 20080805
ZA 2006001687 A 20070425 ZA 2006-1687 20060227
PRAI US 2004-573251P P 20040520
DE 2003-10339844 A 20030829
WO 2004-EP9002 W 20040812

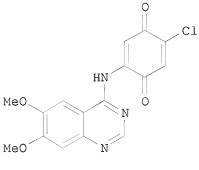
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 144:36369; MARPAT 144:36369

GI



I



II

AB Title compds. I [R1 = N, C-CN, CH, C-F, C-Cl, C,Br, C-I; G1-G4 = independently H, halo, alk(en/yn)yl, alkylsulfinyl, NH2 and derivs., etc., with the proviso that G3 or G4 are not -NH-R2; R2 = -CO-C.tplbond.C-R3, -CO-(R3)C:C(R3)2, etc.; R3 = independently H, alkyl, Ph, carboxy, etc.; X = NH, O, S, etc.; Z' = (un)substituted 1,4-benzoquinone, 1,4-naphthoquinone, 7-oxabicyclo[4.1.0]hept-3-ene-2,5-dione; and their

pharmaceutically acceptable salts] were prepared as protein kinases, particularly protein tyrosine kinases, inhibitors. I are useful for treatment of diseases that are characterized, at least in part, by excessive, abnormal, or inappropriate angiogenesis, such as cancer, diabetic retinopathy, macular degeneration and rheumatoid arthritis. I inhibit angiogenesis by inhibiting a tyrosine kinase receptor enzyme, specifically KDR, and binding to the KDR in an irreversible manner. For example, reacting 2-amino-4,5-dimethoxybenzonitrile with DMF di-Me acetal, refluxing of amidine with 4-chloro-2,5-dimethoxyaniline and oxidation of dimethoxy intermediate with ceric ammonium nitrate gave quinazoline II. Quinazoline II (100 nM concentration) gave 83% inhibition of KDR kinase activity.

Selected I were effective inhibitors of VEGF-dependent growth factor of HUVEC cells.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:977020 CAPLUS
DN 143:286438
TI Preparation of pyridine and pyrimidine derivatives as hepatocyte growth factor receptor inhibitors, angiogenesis inhibitors, and tumor inhibitors
IN Matsushima, Tomohiro; Takahashi, Keiko; Funasaka, Setsuo; Obaishi, Hiroshi
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 601 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

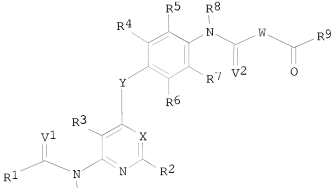
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005082854	A1	20050909	WO 2005-JP3701	20050225
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005217325	A1	20050909	AU 2005-217325	20050225
	AU 2005217325	B2	20071129		
	CA 2543859	A1	20050909	CA 2005-2543859	20050225
	US 20050277652	A1	20051215	US 2005-65631	20050225 <--
	US 7531532	B2	20090512		
	EP 1719762	A1	20061108	EP 2005-719973	20050225
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
	CN 1906166	A	20070131	CN 2005-80001760	20050225
	BR 2005007201	A	20080610	BR 2005-7201	20050225
	RU 2330021	C2	20080727	RU 2006-134254	20050225
	NZ 547517	A	20090430	NZ 2005-547517	20050225
	US 20070270421	A1	20071122	US 2006-577065	20060424 <--
	KR 2006113992	A	20061103	KR 2006-713940	20060711
	KR 799534	B1	20080131		
	MX 2006009655	A	20061030	MX 2006-9655	20060824
	NO 2006004335	A	20061127	NO 2006-4335	20060925

	IN 2006CN03530	A	20070615	IN 2006-CN3530	20060926
PRAI	JP 2004-54451	A	20040227		
	JP 2004-370801	A	20041222		
	WO 2005-JP3701	W	20050225		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 143:286438

GI



I

AB The title compds. I [R1 = alkyl, alkenyl, alkynyl, etc.; R2, R3 = H; R4 - R7 = H, halo, cyano, alkyl, etc.; R8 = H, alkyl; R9 = alkyl, alkenyl, alkynyl, etc.; V1, V2 = O, S; W = NR; R = H, alkyl; X = CR10, N; R10 = H, halo, cyano, etc.; Y = O, S, sulfinyl, etc.] are prepared Thus, a solution of phenylacetylisothiocyanate in toluene was added to a mixture of 3-[4-(4-aminophenoxy)pyridin-2-yl]-1-methyl-1-(1-methylpiperidin-4-yl)urea and D-10-camphorsulfonic acid in ethanol; the resulting mixture was stirred for 1.5 h to give, after workup and purification, 1-methyl-1-(1-methylpiperidin-4-yl)-3-[4-[4-(3-phenylacetylthioureido)phenoxy]pyridin-2-yl]urea. In a test for the inhibition of hepatocyte growth factor receptor (HGFR) tyrosine kinase, compds. of this invention in vitro showed IC50 values of 0.016 μM to 0.1 μM.

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:729533 CAPLUS

DN 143:199863

TI Pharmaceutical composition comprising a piperidine compound for promoting angiogenesis

IN Hashimoto, Ayako; Imaizumi, Takashi; Miyakoda, Goro; Mori, Toyoki

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005072734	A1	20050811	WO 2005-JP1444	20050126
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

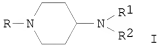
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

AU	2005207746	A1	20050811	AU 2005-207746	20050126
AU	2005207746	B2	20070816		
CA	2553918	A1	20050811	CA 2005-2553918	20050126
EP	1708705	A1	20061011	EP 2005-704342	20050126
EP	1708705	B1	20090218		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

CN	1905877	A	20070131	CN 2005-80001651	20050126
CN	100473383	C	20090401		
BR	2005006578	A	20070410	BR 2005-6578	20050126
AT	422888	T	20090315	AT 2005-704342	20050126
RU	2354375	C2	20090510	RU 2006-127474	20050126
ES	2321310	T3	20090604	ES 2005-704342	20050126
JP	2005239711	A	20050908	JP 2005-22976	20050131
KR	2006127053	A	20061211	KR 2006-714350	20060718
KR	868470	B1	20081112		
IN	2006KN02071	A	20070518	IN 2006-KN2071	20060724
US	20090187025	A1	20090723	US 2006-587045	20060724 <--
MX	2006008444	A	20061009	MX 2006-8444	20060726
HK	1095755	A1	20090717	HK 2007-103158	20070323
PRAI JP	2004-20859	A	20040129		
WO	2005-JP1444	W	20050126		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 143:199863
GI



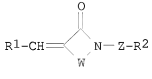
I

AB The present invention provides a pharmaceutical composition for promoting angiogenesis, which has an angiogenesis promoting action even in a vascular culturing system, without effect of microcirculation. A pharmaceutical composition comprises at least one piperidine compound (I; R = benzoyl, amino benzoyl; alkanoyl amino benzoyl, alkyl amino benzoyl; R1 = H, alkyl; R2 = Ph alkyl) for promoting angiogenesis and prevention and therapy of diseases with insufficient development and regeneration of blood vessels, and various diseases caused by ischemia. For example, 4-[N-methyl-N-(2-phenylethyl)amino]-1-(3,5-dimethyl-4-propionylaminobenzoyl)piperidine (Test Compound A, 5 mg), starch (132 mg), magnesium stearate (18 mg) and lactose (45 mg) were mixed, and tableted by conventional means to produce tablets. The Test Compound A clearly demonstrated to have angiogenesis promoting action in vitro in aortic rings embedded into type I collagen gel.
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

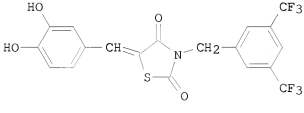
L3 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:260032 CAPLUS
DN 142:336364
TI Preparation of thiazolidinedione and 3,4-dihydropyrazol-3-ones as plasminogen activator inhibitor-1 inhibitors
IN Muto, Susumu; Kubo, Asako; Itai, Akiko; Sotome, Tomomi; Yamaguchi, Yoichi
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005026127	A1	20050324	WO 2004-JP13193	20040903
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1666469	A1	20060607	EP 2004-772932	20040903
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	US 20070276011	A1	20071129	US 2007-571324	20070220 <--
PRAI	JP 2003-319191	A	20030911		
	WO 2004-JP13193	W	20040903		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 142:336364
GI



I



II

AB A medicine having plasminogen activator inhibitor-1 (PAI-1) inhibiting activity comprises as an active ingredient a compound of the general formula (I) [wherein R1, R2 = (un)substituted aromatic groups; W = a group selected from among linkage groups of formulas -X-C(:X)- and -C(R3):N- (wherein the left side bonds effect linkage with a carbon atom while the right side bonds effect linkage with a nitrogen atom; X = sulfur atom or NH; Y =

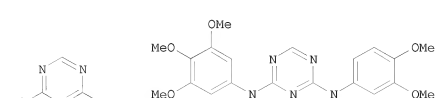
oxygen or sulfur atom; R3 = a hydrocarbon group, hydroxyl, or carboxyl); Z = a single bond or a linkage group whose main chain has 1 to 3 atoms] or a salt thereof. This medicine is useful for the prevention and/or treatment of diseases caused by increased activity of PAI-1 or diseases caused by ≥2 of unusual states selected from thrombogenesis, fibrosis, organ fat accumulation, cell proliferation, angiogenesis, deposition or reconstruction of outer cellular matrix, and cell migration or metastasis. Thus, a mixture of 0.15 mmol 3,4-dihydroxybenzaldehyde, 0.15 mmol 3-[3,5-bis(trifluoromethyl)benzyl]thiazolidine-2,4-dione, and 4 mL toluene was treated with two drops of AcOH and two drops of piperidine and heated at 90° for 40 min to give 5-(3,4-dihydroxybenzylidene)-3-[3,5-bis(trifluoromethyl)benzyl]thiazolidine-2,4-dione (II). II at 25 μM in vitro inhibited >99% inactivation of 2-chain tissue-type plasminogen activator (tPA) by human PAI-1.

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:493561 CAPLUS
DN 141:54365
TI Preparation of 1,3,5-triazines as kinase inhibitors for treatment of angiogenesis or vasculogenesis
IN Armistead, David M.; Bemis, Jean E.; Buchanan, John L.; Dipietro, Lucian V.; Elbaum, Daniel; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Kim, Joseph L.; Marshall, Teresa L.; Novak, Perry M.; Nunes, Joseph J.; Patel, Vinod F.; Toledo-Sherman, Leticia M.; Zhu, Xiaotian
PA Amgen Inc., USA
SO U.S. Pat. Appl. Publ., 300 pp., Cont. of U.S. Ser. No. 85,053, abandoned. CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040116388	A1	20040617	US 2003-699518	20031031 <--
	US 7074789	B2	20060711		
	ES 2306671	T3	20081116	ES 2000-972036	20001006
PRAI	US 1999-158176P	P	19991007		
	US 1999-166978P	P	19991123		
	US 1999-170378P	P	19991213		
	US 2000-183263P	P	20000217		
	US 2000-215576P	P	20000630		
	US 2000-219801P	P	20000720		
	US 2000-685053	B1	20001006		

OS MARPAT 141:54365
GI



I II

AB Title compds. I [wherein R1 and R2 = independently R3, R8, NHR3, NHR5,

NHR6, NR5R5, NR5R6, SR5, SR6, SR3, OR5, OR6, OR3, COR3, (un)substituted heterocyclyl, alkyl; R3 = independently aryl, (un)substituted Ph, heteroaryl; R5 = independently H, alkynyl, cycloalkenyl, aryl, R9, (un)substituted (cyclo)alkyl, alkenyl; R6 = independently COR5, CO2R5, CONR5R5, C(=NR5)NR5R5, SO1-2R5; R8 = independently (un)substituted (hetero)monocyclyl, (hetero)bicyclyl, (hetero)tricyclyl] were prepared as inhibitors of enzymes that bind to ATP or GTP and/or catalyze phosphoryl transfer. Examples include a number of general synthetic methods, specific exptl. details for the preparation of selected invention compds., and phys. and bioassay data. For instance, 2,4-dichloro-1,3,5-triazine was coupled with 3,4,5-trimethoxyaniline in the presence of diisopropylethylamine in DMF to give the triazinamine (37%). Subsequent reaction with 4-aminoveratrole using diisopropylethylamine in EtOH provided II (66%). The latter was one of over 950 invention compds. tested for activity against the EGFR-1, IGFR-1, Akt3-1, Met-1, KDR-1, Zap-1, Lck-1, Itk-1, PDGFRB-1, Tek-1, ErbB2-2, EPHB4-1, ErbB4-1, FGFR1-1, Flt-1, Fyn-1, Hck-1, Lyn-1, Ret-1, and/or Src-1 receptors with IC50 values in ranges from <0.4 μg/mL to >4.5 μg/mL. Thus, I and their comps. are useful for the treatment of diseases or conditions involving angiogenesis or vasculogenesis (no data).

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:485162 CAPLUS

DN 141:38534

TI Preparation of aromatic sulfone hydroxamic acid metalloprotease inhibitors

IN Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffrey N.; Decrescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Li, Madeleine H.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Steve A.; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.

PA Pharmacia Corporation, USA

SO U.S., 403 pp., Cont.-in-part of U.S. Ser. No. 311,837.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

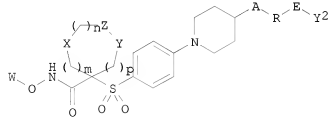
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 6750228	B1	20040615	US 2000-570731	20000512	<--
	US 20010014688	A1	20010816	US 1998-191129	19981113	<--
	US 20010039287	A1	20011108	US 1999-256948	19990224	<--
	CA 2372934	A1	20001123	CA 2000-2372934	20000515	
	WO 2000069821	A1	20001123	WO 2000-US6719	20000515	
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
	EP 1183239	A1	20020306	EP 2000-930088	20000515	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
	HU 2002001680	A2	20020928	HU 2002-1680	20000515	
	HU 2002001680	A3	20021228			
	BR 2000010562	A	20030610	BR 2000-10562	20000515	
	JP 2003520196	T	20030702	JP 2000-618238	20000515	

	AU 766792	B2	20031023	AU 2000-47970	20000515
	NZ 515217	A	20040430	NZ 2000-515217	20000515
	US 20020177588	A1	20021128	US 2001-954451	20010917 <--
	US 6750233	B2	20040615		
	ZA 2001009006	A	20021202	ZA 2001-9006	20011031
	NO 2001005543	A	20020110	NO 2001-5543	20011113
	MX 2001011569	A	20050620	MX 2001-11569	20011113
	US 20030073718	A1	20030417	US 2001-989943	20011121 <--
	US 6683093	B2	20040127		
	US 20040209914	A1	20041021	US 2003-730403	20031208 <--
	US 20040235818	A1	20041125	US 2003-747796	20031229 <--
PRAI	US 1997-66007P	P	19971114		
	US 1998-95347P	P	19980804		
	US 1998-101080P	P	19980918		
	US 1999-256948	B2	19990224		
	US 1999-311837	A2	19990514		
	US 1998-95501P	P	19980806		
	US 1998-186410	B2	19981105		
	US 1998-191129	B2	19981113		
	US 2000-570731	A	20000512		
	WO 2000-US6719	W	20000515		
	US 2001-989943	A3	20011121		

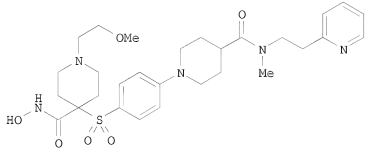
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 141:38534

GI



I



II

AB A treatment process is disclosed that comprises administering an effective amount of an aromatic sulfone hydroxamic acid I [W = H, cation, certain acyl or thioacyl groups; m, n, p = 0-2; (m+n+p) = 1 to 4; Z = (un)substituted NH; X, Y = (un)substituted CH2; A = bond, O, S, (un)substituted NH, COO, OCO, CH:CH, C.tplbond.C, N:N, NHNH, NHCOO, (un)substituted CONH, NHCO, etc.; R = alkylene, arylene, heteroarylene, etc., with provisos; E = bond, CONH, NHCO, CO, SO2, NHSO2, SO2NH, S, etc.; Y2 = absent, H, alkyl, alkoxy, aryl, aryloxy, heteroaryl, etc.] to a host having a condition associated with

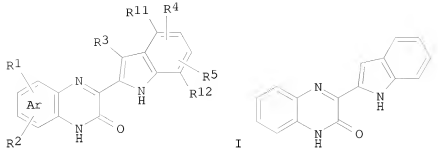
pathol. matrix metalloprotease (MMP) activity. I exhibit excellent inhibitory activity of one or more MMP enzymes, such as MMP-2, MMP-9 and MMP-13, while exhibiting substantially less inhibition of (at least) MMP-1 (biol. data given). Also disclosed are metalloprotease inhibitor compds. having such selective activities, processes for manufacture of such compds., and pharmaceutical compns. using such inhibitors. The compds. are potentially useful against a wide variety of conditions, notably as antiosteoarthritic, antiangiogenesis, and antitumor agents. Over 900 example compds. are listed, most with supporting phys. data, and many with synthetic details. E.g., a multi-step synthesis of the compound II.2HCl was given.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:430796 CAPLUS
DN 141:7139
TI Preparation of indolylquinoxalinones for treating hyperproliferative disorders and diseases associated with angiogenesis
IN Ladouceur, Gaetan H.; Bear, Brian; Bi, Cheng; Brittelli, David R.; Burke, Michael J.; Chen, Gang; Cook, James; Dumas, Jacques; Sibley, Robert; Turner, Michael R.
PA Bayer Pharmaceuticals Corporation, USA
SO PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043950	A1	20040527	WO 2003-US36003	20031110
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2505819	A1	20040527	CA 2003-2505819	20031110
	AU 2003290744	A1	20040603	AU 2003-290744	20031110
	EP 1565455	A1	20050824	EP 2003-783328	20031110
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003016169	A	20050927	BR 2003-16169	20031110
	CN 1738814	A	20060222	CN 2003-80108639	20031110
	JP 2006509840	T	20060323	JP 2005-507146	20031110
	MX 2005004779	A	20050722	MX 2005-4779	20050504
	US 20060004011	A1	20060105	US 2005-534215	20050506 <--
	NO 2005002796	A	20050609	NO 2005-2796	20050609
PRAI	US 2002-425490P	P	20021112		
	US 2003-460915P	P	20030407		
	US 2003-484202P	P	20030630		
	WO 2003-US36003	W	20031110		

OS MARPAT 141:7139
GI



AB The invention relates to title compds. I [wherein Ar = 6-membered aromatic ring containing 0-2 N atoms; R1 and R2 = independently H, halo, CF3, acyl, piperidinyl, piperazinyl, morpholinyl, or (un)substituted alkyl, alkoxy, amino, pyrrolidinyl, Ph, etc.; R3 = H, alkyl, OH, NO2, NH2, alkylamino, alkoxyamino, or (un)substituted benzoylamino; R4 = H, OH, halo, CN, acyl, sulfamoyl, trialkylsiloxy, tetrazolyl, thienyl, pyrrolyl, pyrimidinyl, oxazolyl, furanyl, or (un)substituted alkyl, alkenyl, alkynyl, alkoxy, amino, oxadiazolyl, Ph, pyridyl(oxy), carbamoyl; R11 and R12 = independently H, F, or Cl with the proviso that when one of R11 and R12 = F or Cl, the other must be H; and pharmaceutically acceptable salts and esters thereof]. The invention also relates to the use of I and their pharmaceutical compns. for treating hyperproliferative disorders and diseases associated with angiogenesis (no data). Examples include representative syntheses for compds. of the invention, pharmaceutical compns. comprising them, and tumor model assays (no specific data given). For instance, N-Boc-indole was coupled with di-Me oxalate using t-BuLi to give tert-Bu 2-[methoxy(oxo)acetyl]-1H-indole-1-carboxylate (72%). Cyclization of the dione with 1,2-phenylenediamine in AcOH afforded the quinoxalinone II (77%).

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:376549 CAPLUS
DN 138:385306
TI Preparation of substituted 4-phenyl-4-(1H-imidazol-2-yl)piperidine derivatives for reducing ischemic damage
IN Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth; Fernandez-Gadea, Francisco Javier; Gomez-Sanchez, Antonio; Flameng, Willem; Herijgers, Paul Joannes Ludovicus; Meert, Theo Frans; Borgers, Marcel J. M.
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003039440	A2	20030515	WO 2002-EP11371	20021010
	WO 2003039440	A3	20031218		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				

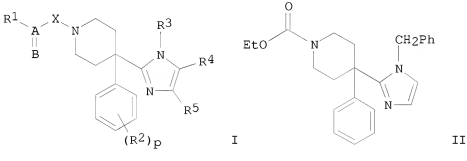
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA	2462374	A1	20030515	CA 2002-2462374	20021010
AU	2002363369	A1	20030519	AU 2002-363369	20021010
AU	2002363369	B2	20080821		
EP	1438049	A2	20040721	EP 2002-799040	20021010
EP	1438049	B1	20061122		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR	2002013325	A	20041013	BR 2002-13325	20021010
CN	1568186	A	20050119	CN 2002-820296	20021010
CN	1283252	C	20061108		
HU	2004002332	A2	20050228	HU 2004-2332	20021010
HU	2004002332	A3	20090728		
JP	2005507943	T	20050324	JP 2003-541732	20021010
NZ	531733	A	20060428	NZ 2002-531733	20021010
AT	345799	T	20061215	AT 2002-799040	20021010
ES	2276980	T3	20070701	ES 2002-799040	20021010
IN	2004DN00917	A	20070112	IN 2004-DN917	20040408
ZA	2004002816	A	20050413	ZA 2004-2816	20040413
MX	2004003480	A	20040730	MX 2004-3480	20040414
US	20050004170	A1	20050106	US 2004-492778	20040415 <--
US	7390822	B2	20080624		
NO	2004001681	A	20040423	NO 2004-1681	20040423
HK	1072562	A1	20070622	HK 2005-105375	20050628
PRAI EP	2001-203927	A	20011015		
WO	2002-EP11371	W	20021010		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 138:385306

GI



AB Title compds. I [A=B = bivalent π-bond radical; X = covalent bond, alkyl; R1 = H, alkoxy, alkylcarbonyloxy, aryloxy, etc.; R2 = OH, alkoxy, alkylcarbonyloxy, phenyloxy, etc.; R3 = alkyl, aryl, heteroaryl, etc.; R4-5 = H, alkyl, carboxy, aminocarbonyl, etc.; p = 0-3] are prepared N-[chloro(1-methyl-4-phenyl-4-piperidinyl)methylene]benzenemethanamine•HCl (100%). Addition of dimethoxyethanamine in DMF to give the piperidinecarboximidamide (100%), followed by reduction with NaOH provided 1-methyl-4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]piperidine (25%). Amidation with Et chloroformate in the presence of K2CO3 and DEA in toluene gave II (86 %). All compds. of the invention showed a pIC50 = 7-8 for the δ-opioid receptor and a pIC50 ≤ 6 for the μ- and

κ-receptor in [35]GTPγS radioligand binding assays. I are used for the treatment of ischemic damage to an organ (heart, brain) and for the prevention of coronary artery diseases by inducing a cardioprotective effect and the treatment and prevention of stroke.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:261813 CAPLUS
DN 138:287667
TI Preparation of 1-[2-(aryloxy)ethyl]-1H-pyrazoles useful in the treatment of hyper-proliferative disorders
IN Khire, Uday; Zhang, Chengzhi; Kluender, Harold C. E.; Mugge, Ingo; Hong, Zhenqiu; Shao, Jianxing; Bifulco, Neil; Trail, Pamela A.; Dumas, Jacques; Lavoie, Rico C.; Liu, Xiao-Gao; Agarwal, Veena; Verma, Sharad K.; Wang, Lei
PA Bayer Corporation, USA
SO PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003027074	A1	20030403	WO 2002-US29958	20020920
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2461128	A1	20030403	CA 2002-2461128	20020920
	AU 2002334622	A1	20030407	AU 2002-334622	20020920
	EP 1432689	A1	20040630	EP 2002-799600	20020920
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005504098	T	20050210	JP 2003-530665	20020920
	US 20040180891	A1	20040916	US 2004-489796	20040315 <--
PRAI	US 2001-324573P	P	20010925		
	WO 2002-US29958	W	20020920		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 138:287667
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [wherein R1 = H, halo, or CN; R2 = H, CN, COR6, halo, or alkyl; R3 = CF3 or (un)substituted alkyl, Ph, furyl, thienyl, isoxazolyl, pyridyl, or benzodioxolyl; R4 = H, alkyl, halo, or CN; X = O or NH; R5 = (un)substituted alkyl; R6 = H or alkyl; R7 = alkoxy, Br, Cl, F, CF3, CN, CO2H, NHCOR14, or (un)substituted alkyl, Ph, thienyl, pyridyl, pyrimidyl, pyrrolyl, furyl, oxazolyl, benzothienyl, benzofuryl, morpholinyl, pyrrolidinyl, piperidinyl, naphthyl, or

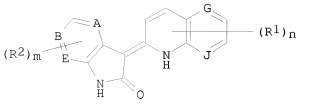
benzodioxolyl; Y = H, alkyl, alkoxy, CN, or halo; R8 = (un)substituted Ph; R9 = H, alkyl, Br, Cl, or F; R10 = (un)substituted alkyl; R14 = alkyl; n = 0-2; or pharmaceutically acceptable salts thereof] were prepared as angiogenesis inhibitors. For example, etherification of 1,6-dibromo-2-naphthol with dibromoethane gave the bromoethoxy derivative (93%). Addition of NH2NH2•H2O in 2N HCl and CH2Cl2 provided 1-[2-[(1,6-dibromo-2-naphthyl)oxy]ethyl]hydrazine•HCl (78%). Cyclization of the hydrazine with Et benzoylacetate afforded the pyrazolone (39%), which was treated with 1,1'-(azodicarbonyl)dipiperidine, PBu3, and EtOH to give III (78%). In an in vivo tumor model assay using human colon tumor HCT-116 cells implanted in mice, I and II significantly inhibited tumor growth compared to controls. All treatments were well tolerated with no lethality or weight loss in any group. Thus, I and II are useful for the treatment of hyper-proliferative disorders and angiogenesis dependent disorders, especially colon, breast, and lung cancer.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:906195 CAPLUS
DN 138:4618
TI Preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivatives as vascular endothelial growth factor (VEGF) inhibitors
IN Samizu, Kiyohiro; Hisamichi, Hiroyuki; Matsuhisa, Akira; Kinoyama, Isao; Hayakawa, Masahiko; Taniguchi, Nobuaki; Ideyama, Yukitaka; Kuromitsu, Sadao; Yahiro, Kiyoshi; Okada, Minoru
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002094809	A1	20021128	WO 2002-JP5014	20020523
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2448076	A1	20021128	CA 2002-2448076	20020523
	AU 2002258226	A1	20021203	AU 2002-258226	20020523
	EP 1396490	A1	20040310	EP 2002-728131	20020523
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	CN 1511151	A	20040707	CN 2002-810534	20020523
	IN 2003MN01060	A	20050429	IN 2003-MN1060	20031119
	US 20050090498	A1	20050428	US 2003-478504	20031124 <--
PRAI	JP 2001-155761	A	20010524		
	WO 2002-JP5014	W	20020523		

OS MARPAT 138:4618
GI



I

AB Novel 3-(1,2-dihydroquinolin-2-ylidene)indolin-2-one derivs. represented by the following general formula (I) or salts thereof [wherein A, B, E, G, J= N, CH; R1, R2 = lower alkyl, alkenyl, or alkynyl, Ra, X-(C1-8 alkylene optionally substituted by ORb)-Ra, X-C1-8 alkenylene-Ra, X-C1-8 alkynylene-Ra, provided that R1 and R2 are not substituted on N atom; X = O, CO, CO2, O2C, S, SO, SO2, NRb, NRbSO2, SO2NRb, CONRb, NRbCO, NRbCONRb, NRbCO2, O2CNRb, a single bond; wherein Ra = halo-lower alkyl, halo, NO2, cyano, ORb, O-lower alkylene-NRbRc, CO2Rb, CORb, CONRbRc, NRbRc, NRd-lower alkylene-NRbRc, etc.; Rb, Rc, Rd = H, lower alkyl, lower alkylene-RIN; RIN = (un)substituted saturated heterocyclyl, cycloalkyl, aryl, or heteroaryl; n, m = an integer of 0-4; provided that when A, B, E, E, G, and J are simultaneously C, they are not simultaneously N] are prepared Theses compds. have excellent effects of inhibiting VEGF and angiogenesis and an antitumor effect and, therefore, are useful as appropriate VEGF inhibitors, angiogenesis inhibitors and anticancer agents. They are useful as remedies for diseases in which angiogenesis participates, e.g. solid tumors and diabetic retinopathy. Thus, 0.3 mL benzoyl chloride was added to a solution of 510 mg 6-[2-(1H-1,2,3-triazol-1-yl)ethoxy]quinoline N-oxide in 25 mL CHCl3 under ice-cooling and stirred at the same temperature for 30 min, followed by adding 265 mg indolidin-2-one, and the resulting mixture was refluxed at 90° for 8 h to give 3-[6-[2-(1H-1,2,3-triazol-1-yl)ethoxy]quinolin-2(1H)-ylidene]isoindolin-2-one (II). II and 5-fluoro-3-(quinolin-2(1H)-ylidene)isoindolin-2-one showed IC50 of 0.14 and 0.00097 μM, resp., for inhibiting the human recombinant VEGF-promoted uptake of [3H]thymidine in human umbilical vein endothelial cells (HUVEC).

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2002:276540 CAPLUS

DN 136:309925

TI Preparation of pyrazole compounds as cell proliferation inhibitors

IN Zhang, Zaihui; Yan, Jun; Leung, Danny; Costello, Penelope C.; Sanghera, Jasbinder; Daynard, Timothy Scott; Wang, Shisen; Chafeev, Mikhail

PA Kinetek Pharmaceuticals, Inc., Can.

SO U.S. Pat. Appl. Publ., 31 pp., Cont.-in-part of U.S. 6,214,813.
CODEN: USXXCO

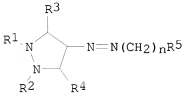
DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 20020042501	A1	20020411	US 2000-747563	20001222	<--
	US 6436915	B2	20020820			
	US 6214813	B1	20010410	US 2000-544908	20000407	<--
	CA 2405408	A1	20011018	CA 2001-2405408	20010126	
	WO 2001077080	A2	20011018	WO 2001-CA89	20010126	
	WO 2001077080	A3	20020228			

W: AU, CA, JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR
EP 1276723 A2 20030122 EP 2001-902197 20010126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR
US 20030060453 A1 20030327 US 2002-77238 20020215 <--
US 7105503 B2 20060912
PRAI US 2000-544908 A2 20000407
US 2000-747563 A 20001222
WO 2001-CA89 W 20010126
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 136:309925
GI



I

AB Claimed is a pharmaceutical composition comprising the title compds. [I; R1 = alkyl, aryl, or heteroaryl, which may be substituted with one or more groups selected from C1-C20alkyl, C6-C01aryl, heteroalkyl, and heteroaryl; R2 = H, direct bond; R3, R4 = NH2, NHCOR5; R5 = R6, R7, R8; wherein R6 = alkyl, heteroalkyl, aryl, heteroaryl; R7 = (R6)k-alkylene, (R6)k-heteroalkylene, (R6)k-arylene, (R6)k-heteroarylene; R8 = (R7)k-alkylene, (R7)k-heteroalkylene, (R7)k-arylene, (R7)k-heteroarylene; k = 1, 2, 3, 4, 5; n = 1, 2, 3, 4, 5], stereoisomers, polymorphs, solvates, and pharmaceutically acceptable salts thereof, and a pharmaceutically acceptable carrier, diluent or excipient. Theses compds. have anti-proliferative activity, and may promote apoptosis in cells lacking normal regulation of cell cycle and death. The pharmaceutical formulations are useful in the treatment of hyperproliferative disorders, which disorders include tumor growth, lymphoproliferative diseases, and angiogenesis. Thus, diazotization of p-anisidine with NaNO2 in aqueous HCl, followed by coupling with malononitrile and then cyclocondensation with hydrazine hydrate in EtOH under reflux gave 70% 3,5-Diamino-4-(p-methoxyphenyl)hydrazonopyrazole (II). II and its demethoxy derivative showed IC50's of 1 and 0.6 μM, resp., against integrin linked kinase.
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L3 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:923795 CAPLUS
DN 136:53749
TI Preparation of heteroarylalkanoic acids as integrin receptor antagonists
IN Nagarajan, Scrinivasan Raj; Khanna, Ish Kumar; Tollefson, Michael B.; Mohler, Scott B.; Chen, Barbara; Russell, Mark; Devadas, Balekudru; Penning, Thomas D.; Schretzman, Lori A.; Spangler, Dale P.; Boys, Mark Laurence; Chandrakumar, Nizal Samuel; Lu, Hwang-Fun
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 368 pp.
CODEN: PIXXD2
DT Patent
LA English

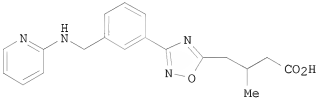
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096334	A2	20011220	WO 2001-US19375	20010615
	WO 2001096334	A3	20020912		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 20020133023	A1	20020919	US 2001-881913	20010615 <--
	US 6933304	B2	20050823		
	EP 1289983	A2	20030312	EP 2001-948424	20010615
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004511434	T	20040415	JP 2002-510476	20010615
	US 20040092497	A1	20040513	US 2003-311385	20030905 <--
	US 7119098	B2	20061010		
PRAI	US 2000-211781P	P	20000615		
	US 2000-211782P	P	20000615		
	WO 2001-US19375	W	20010615		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 136:53749

GI



II

AB Title compds. A1Z2Z1AXYY5(Y3)(Y4)CH2CORb [I; wherein ring A = (un)substituted 4-8 membered monocyclic or 7-12 membered bicyclic ring containing 1-4 heteroatoms, selected from O, N, or S; A1 = (un)substituted 5-9 membered monocyclic or 7-14 membered polycyclic heterocycle containing at least 1 N and optionally 1-4 heteroatoms or groups selected from O, N, S, SO2, or CO; Z1 = CH2, O, CH2O, NH, CO, S, SO, CH(OH), and SO2; Z2 = (un)substituted 1-5 C linker optionally containing 1 or more heteroatoms selected from O, S, and N; Z1Z2 may contain a carboxamide, sulfone, sulfonamide, alkenyl, alkynyl, acyl, or (un)substituted 5- or 6-membered (hetero)aryl; X = CHRe, NRf, O, S, SO2, or CO; Re = H, (cyclo)alkyl, alkoxy(alkyl), OH, alkynyl, alkenyl, haloalkyl, thioalkyl, or aryl; Rf = H, (halo)alkyl, aryl, or benzyl; Y = (CH2)p, CHRg, NRg, CO, or SO2; Rg = H, (halo)alkyl, alkoxyalkyl, alkynyl, (hetero)aryl, OH, alkoxy, or carboxyalkyl; p = 0-1; XY may contain acyl, alkyl, sulfonyl, amino, (thio)ether, carboxamido, sulfonamido, aminosulfonyl, or olefin; Y3 and Y4 = independently H, (halo)alkyl, halo, (hetero)aryl, hydroxyalkyl, alkynyl, etc.; Rb = X2Rh; X2 = O, S, or NRj; Rh and Rj = independently H, (ar)alkyl, acyl, or alkoxyalkyl; with provisos] and their pharmaceutically acceptable salts were prepared for selectively antagonizing the αvβ3 and/or the αvβ5 integrin without significantly antagonizing the fibrinogen IIb/IIIa integrin. For example,

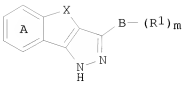
3-(hydroxymethyl)benzonitrile was protected with 3,4-dihydro-2H-pyran (89%) and treated with HONH2•HCl to give the benzenecarboximidamide (98%). Cyclization with 3-methylglutaric anhydride in the presence of MeI (64%) and deprotection (98%) gave the Me 1,2,4-oxadiazolebutanoate (64%). Oxidation to the aldehyde, followed by reductive addition of 2-aminopyridine and workup, afforded the oxadiazolebutanoic acid (II). In vitronectin adhesion assays, I antagonized the αvβ3 integrin and the αvβ5 integrin with IC50 values of 0.1 nM to 100 μM and < 50 μM, resp. I are useful for the treatment of tumor metastasis, solid tumor growth, angiogenesis, osteoporosis, humoral hypercalcemia of malignancy, smooth muscle cell migration, restenosis, atherosclerosis, macular degeneration, retinopathy, and arthritis (no data).

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:851123 CAPLUS
DN 136:5985
TI Preparation of tricyclic pyrazole derivatives as tyrosine kinase inhibitors for treatment of angiogenesis-related diseases
IN Doyle, Kevin J.; Rafferty, Paul; Steele, Robert W.; Wilkins, David J.; Arnold, Lee D.; Hockley, Michael; Ericsson, Anna M.; Iwasaki, Nobuhiko; Ogawa, Nobuo
PA Knoll G.m.b.H., Germany
SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001087846	A2	20011122	WO 2001-US16153	20010517
	WO 2001087846	A3	20020321		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6462036	B1	20021008	US 2000-573366	20000517 <--
	CA 2409225	A1	20011122	CA 2001-2409225	20010517
	EP 1289525	A2	20030312	EP 2001-937553	20010517
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003533514	T	20031111	JP 2001-584242	20010517
	MX 2002011320	A	20040910	MX 2002-11320	20021115
PRAI	US 2000-573366	A1	20000517		
	US 1998-107467P	P	19981106		
	WO 1999-US26105	A2	19991104		
	WO 2001-US16153	W	20010517		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 136:5985
GI



I

AB Title compds. I [m = 1-10; X = (CH2)n, CO, O, C:NOR10, NR11, (CH2)n, S, SO, or SO2; n = 1-3; R10 = alkyl; R11 = (un)substituted alkyl or Ph; B = (cyclo)alkyl, aryl, pyridyl, thienyl, furyl, or pyrrolyl; R1 = H, halo, OH, NO2, CN, hydroxyamidino, CH2NH2, formamidomethyl, (un)substituted alkenyl(oxy), alkynyl, or YW; Y = absent or alkyl, alkoxy, O, S, or CO; W = H, OH, (un)substituted Ph, alkoxy, or amino; ring A is optionally substituted with halo, OH, NO2, CN, or (un)substituted alkyl, alkoxy, PhO, carboxy, carbamoyl, amino, amido, aralkyl, alkenyl, or alkynyl; with provisos; and racemic mixts., racemic diastereomeric mixts., tautomers, optical isomers, and pharmaceutically acceptable salts thereof] were prepared as protein kinase inhibitors, especially tyrosine kinase inhibitors. Thus, indan-1-one hydrazone (preparation given) in THF at 0° was treated with BuLi and then with Me 3,4,5-trimethoxybenzoate to give 3-(3,4,5-trimethoxyphenyl)-1,4-dihydroindeno[1,2-c]pyrazole. Example compds. significantly inhibited KDR kinase at concns. of ≤ 50 μM.

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2001:31473 CAPLUS

DN 134:100864

TI Indazole compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use

IN Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza, John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson, Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich, Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas, Christine; Varney, Michael David; Wallace, Michael Brennan

PA Agouron Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 439 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002369	A2	20010111	WO 2000-US18263	20000630
	WO 2001002369	A3	20020425		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, ZW, MZ, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2383630	A1	20010111	CA 2000-2383630	20000630
	CA 2383630	C	20081118		

	BR 2000012352	A	20020514	BR 2000-12352	20000630
	EP 1218348	A2	20020703	EP 2000-943375	20000630
	EP 1218348	B1	20071024		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	HU 2002002490	A2	20021128	HU 2002-2490	20000630
	HU 2002002490	A3	20030128		
	JP 2003503481	T	20030128	JP 2001-507809	20000630
	JP 3878849	B2	20070207		
	NZ 516676	A	20030926	NZ 2000-516676	20000630
	CN 1137884	C	20040211	CN 2000-809821	20000630
	CN 1495171	A	20040512	CN 2003-154858	20000630
	CN 1234693	C	20060104		
	AU 777701	B2	20041028	AU 2000-57852	20000630
	AP 1486	A	20051231	AP 2002-2392	20000630
	W: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW				
	EP 1614683	A1	20060111	EP 2005-15902	20000630
	EP 1614683	B1	20071121		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	AT 376543	T	20071115	AT 2000-943375	20000630
	IL 146710	A	20080106	IL 2000-146710	20000630
	ES 2293906	T3	20080401	ES 2000-943375	20000630
	ES 2296014	T3	20080416	ES 2005-15902	20000630
	EG 23877	A	20071128	EG 2000-1134	20000905
	NO 2001005797	A	20020301	NO 2001-5797	20011128
	NO 322507	B1	20061016		
	ZA 2001010061	A	20030206	ZA 2001-10061	20011206
	MX 2001012795	A	20020902	MX 2001-12795	20011211
	BG 106380	A	20020930	BG 2002-106380	20020201
	HR 2002000109	B1	20080731	HR 2002-109	20020204
	HK 1048813	A1	20041210	HK 2003-101000	20030212
	HK 1065037	A1	20060825	HK 2004-107797	20030212
	US 20040171634	A1	20040902	US 2003-326755	20030213 <--
	US 6884890	B2	20050426		
	NO 2006000596	A	20020301	NO 2006-596	20060206
	HK 1085470	A1	20080206	HK 2006-105462	20060510
	JP 2006348043	A	20061228	JP 2006-232927	20060830
	JP 3969669	B2	20070905		
	IN 2007DN04518	A	20070831	IN 2007-DN4518	20070613
PRAI	US 1999-142130P	P	19990702		
	EP 2000-943375	A3	20000630		
	JP 2001-507809	A3	20000630		
	US 2000-609335	B3	20000630		
	WO 2000-US18263	W	20000630		
	US 2001-983786	A3	20011025		
	IN 2001-1148	A3	20011212		
	HK 2003-101000	A	20030212		

OS MARPAT 134:100864

GI

I

AB Indazole compds. I [R1 = substituted or unsubstituted aryl or heteroaryl, R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X; R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = O, S, C(:CH2), CO, SO, SO2, alkylidene, NH, N(C1-C8 alkyl); X = substituted or unsubstituted aryl, heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl), NH(heteroaryl), NH(alkoxy), NH(dialkylamide)] and their pharmaceutically acceptable prodrugs, active metabolites, and salts are disclosed. The compds. modulate and/or inhibit the activity of certain protein kinases. In particular, I and pharmaceutical compns. containing them are capable of mediating tyrosine kinase signal transduction, and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compns. containing such compds., and to methods of treating cancer and other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. E.g., I [R1 = (E)-3,4-(MeO)2C6H3CH:CH; R2 = 4-HO-3-MeOC6H3] (II) was prepared from 6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixture with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphonium bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given.
OSC.G 43 THERE ARE 43 CAPLUS RECORDS THAT CITE THIS RECORD (61 CITINGS)
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2000:553575 CAPLUS
DN 133:164006
TI Preparation of sulfamato hydroxamic acid metalloprotease inhibitors
IN De Crescenzo, Gary A.; Rico, Joseph G.; Boehm, Terri L.; Carroll, Jeffery N.; Kassab, Darren J.; Mischke, Deborah A.
PA G.D. Searle and Co., USA
SO PCT Int. Appl., 628 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

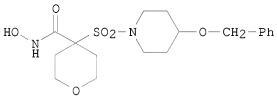
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000046221	A1	20000810	WO 2000-US3061	20000207
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2362230	A1	20000810	CA 2000-2362230	20000207
	EP 1157021	A1	20011128	EP 2000-905996	20000207
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

	IE, SI, LT, LV, FI, RO				
	BR 2000008440	A	20020326	BR 2000-8440	20000207
	HU 2002000119	A2	20020629	HU 2002-119	20000207
	HU 2002000119	A3	20030428		
	US 6448250	B1	20020910	US 2000-499276	20000207 <--
	JP 2002536373	T	20021029	JP 2000-597291	20000207
	EE 200100410	A	20021216	EE 2001-410	20000207
	AU 775701	B2	20040812	AU 2000-27574	20000207
	CN 1216056	C	20050824	CN 2000-806033	20000207
	US 6372758	B1	20020416	US 2001-884548	20010619 <--
	NO 2001003850	A	20010919	NO 2001-3850	20010807
	BG 105788	A	20020228	BG 2001-105788	20010807
	MX 2001007987	A	20020424	MX 2001-7987	20010807
	ZA 2001006492	A	20030507	ZA 2001-6492	20010807
	IN 2001CN01119	A	20050304	IN 2001-CN1119	20010808
	US 6492367	B1	20021210	US 2002-84713	20020226 <--
	US 6800646	B1	20041005	US 2002-262622	20020930 <--
	HK 1049660	A1	20060512	HK 2003-100924	20030207
	US 20050049280	A1	20050303	US 2004-887450	20040708 <--
	US 7067670	B2	20060627		
PRAI	US 1999-119181P	P	19990208		
	US 2000-499276	A1	20000207		
	WO 2000-US3061	W	20000207		
	US 2002-84713	A3	20020226		
	US 2002-262622	A3	20020930		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 133:164006

GI



II

AB The title compds. R20C(O)CR1R2SO2NR3aR3b (I) [wherein R1 and R2 taken together with the C to which they are attached = (un)substituted heterocyclyl or cycloalkyl; or R1 and R2 = independently H, (un)substituted (cyclo)alkyl, alkyloxylalkyl, alkylthioalkyl, alkenyl, alkynyl, aryl(alkyl), heterocyclyl(alkyl), etc.; R3a and R3b = independently H or (un)substituted alkyl, alkenyl, alkynyl, (hetero)aryl, heterocyclyl, cycloalkyl, or alkoxyalkyl; R20 = OH, alkoxyl, aryloxy, NH-OR22, or NH-OR14; R22 = selectively removable protecting group, such as 2-THP, benzyl, trisubstituted silyl, o-NO2C6H4, etc.; R14 = H, a cation, or acyl] were prepared as selective matrix metalloproteinase (MMP) inhibitors for the treatment of various conditions, such as pathol. breakdown of connective tissue, osteoarthritis, inflammation, tumor growth, and angiogenesis. Examples include the syntheses of over 50 piperidinylsulfonyl and piperazinylsulfonyl hydroxamic acids and their intermediates. In vitro MMP assay data for I show selective inhibition of MMP-2 and MMP-13 compared to MMP-1. Some inhibition assay data for MMP-3, MMP-7, MMP-8, MMP-9, and MMP-14 are also given. Thus, II was prepared in a multi-step sequence involving addition of MeOC(O)Cl to 1-(methylsulfonyl)-4-(benzyloxy)piperidine (4-step

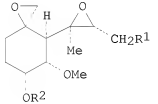
preparation given) to form the methylene sulfonamide, cycloaddn. of dibromodiethyl ether to give the THF-substituted sulfonamide, deesterification, addition of O-(tetrahydro-2H-pyran-2-yl)hydroxylamine to form the THP hydroxamate, and deprotection to yield the desired hydroxamic acid. II inhibited MMP-1, MMP-2, and MMP-13 with IC50 values of < 10,000 nM, 7.0 nM and 20.0 nM, resp.

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

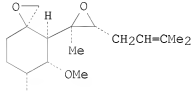
L3 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2000:67499 CAPLUS
DN 132:108116
TI Preparation of O-substituted fumagillol derivatives with angiogenesis inhibitory activity
IN Folkman, Moses J.; Ingber, Donald; Fujita, Takeshi
PA Children's Medical Center Corp., USA
SO U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 811,880, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6017954	A	20000125	US 1992-940123	19920903 <--
	US 5290807	A	19940301	US 1992-917827	19920721 <--
	US 5698586	A	19971216	US 1992-917842	19920721 <--
PRAI	US 1989-391980	B1	19890810		
	US 1991-811880	B2	19911219		
	JP 1988-219287	A	19880901		
	JP 1989-53537	A	19890306		
	US 1991-811800	B1	19911219		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 132:108116
GI



I



II

AB This invention relates to the preparation and use of O-substituted fumagillol derivs. of formula I [R1 = (substituted) 2-methyl-1-propenyl, (substituted) isobutyl; R2 = alkanoyl, aroyl, aromatic heterocycle-carbonyl, carbamoyl, alkyl, alkylsulfonyl, alkoxycarbonyl, etc.], or salts thereof preferably, O-(N-chloroacetylcarbamoyl)fumagillol, O-(N-chloroacetylcarbamoyl)dihydrofumagillol or O-(N-chloroacetylcarbamoyl)-6'b-hydroxyfumagillol, which have angiogenesis inhibitory activity, in the treatment and prevention of various diseases caused or advanced by abnormally hyperactive angiogenesis, especially various inflammatory diseases (rheumatism, psoriasis, etc.), diabetic retinopathy and cancer and other angiogenesis-dependent tumors, especially Kaposi's sarcoma,

breast cancer, colon cancer. Thus, II (AGM-1470) was prepared from fumagillol and chloroacetyl isocyanate in 71% yield. The T/C ratio of II in the B16 mouse melanoma model was 0.47 after 2 wk and 0.20 after 3 wk.

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:404843 CAPLUS
DN 131:44843
TI Integrin receptor antagonists
IN Duggan, Mark E.; Perkins, James J.; Meissner, Robert S.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9930713	A1	19990624	WO 1998-US26485	19981214
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2315232	A1	19990624	CA 1998-2315232	19981214
	AU 9919128	A	19990705	AU 1999-19128	19981214
	AU 738452	B2	20010920		
	EP 1044001	A1	20001018	EP 1998-963893	19981214
	EP 1044001	B1	20050706		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	JP 2002508326	T	20020319	JP 2000-538696	19981214
	AT 299023	T	20050715	AT 1998-963893	19981214
	ES 2243015	T3	20051116	ES 1998-963893	19981214
	US 6211191	B1	20010403	US 1998-212510	19981215 <--
PRAI	US 1997-69909P	P	19971217		
	GB 1998-7384	A	19980406		
	US 1998-83250P	P	19980427		
	US 1998-92630P	P	19980713		
	GB 1998-15803	A	19980721		
	WO 1998-US26485	W	19981214		

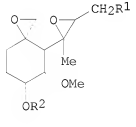
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 131:44843
AB The present invention relates to compds. and derivs. thereof, their synthesis, and their use as integrin receptor antagonists. 3(S)-(2,3-dihydrobenzofuran-6-yl)-3-{2-oxo-3-[3-(5,6,7,8-tetrahydro[1,8]naphthyridin-2-yl)propyl]pyrimidin-1-yl}propionic acid and 3(S)-(3-fluorophenyl)-3-{2-oxo-3(R or S)-[3-(5,6,7,8-tetrahydro[1,8]naphthyridin-2-yl)propyl]piperidin-1-yl}propionic acid and 4-[2-(2-aminopyridin-6-yl)ethyl]benzoyl-2(S)-4-iodosulfonylamino-β-alanine were prepared in multistep processes. More particularly, the compds. of the present invention are antagonists of the integrin receptors αvβ3, αvβ5, and/or αvβ6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound

healing, viral disease, tumor growth, and metastasis.
OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1990:552791 CAPLUS
DN 113:152791
OREF 113:25983a,25986a
TI Preparation of O-acylfumagillol derivatives as angiogenesis inhibitors
IN Kishimoto, Shoji; Fujita, Takeshi
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 359036	A1	19900321	EP 1989-116052	19890831	
	EP 359036	B1	19970326			
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	JP 03007270	A	19910114	JP 1989-223063	19890831	
	JP 06060168	B	19940810			
	EP 682020	A1	19951115	EP 1995-112110	19890831	
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	AT 150750	T	19970415	AT 1989-116052	19890831	
	ES 2099064	T3	19970516	ES 1989-116052	19890831	
	KR 138530	B1	19980515	KR 1989-12548	19890831	
	US 5166172	A	19921124	US 1991-662120	19910228	<--
	US 5164410	A	19921117	US 1991-714436	19910613	<--
	US 5180738	A	19930119	US 1991-717876	19910613	<--
	US 5698586	A	19971216	US 1992-917842	19920721	<--
	JP 06220034	A	19940809	JP 1993-298749	19931129	
	JP 2857575	B2	19990217			
	CA 1340552	C	19990518	CA 1997-617081	19970818	
PRAI	JP 1988-219287	A	19880901			
	JP 1989-53537	A	19890306			
	US 1989-391980	B1	19890810			
	US 1989-392028	B1	19890810			
	EP 1989-116052	A3	19890831			
	US 1991-811880	B1	19911219			

OS MARPAT 113:152791
GI



I

AB The title compds. [I; R1 = CH:CMe2, (un)substituted CH2CHMe2; R2 = substituted alkanoyl, aroyl, (un)substituted heterocyclylcarbonyl, CONH2, alkyl, etc.] were prepared, e.g., by acylation of I (R2 = H). Thus, fumagillol was stirred 20 h with diglycolic anhydride in pyridine to give

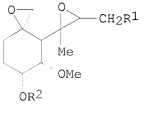
I (R1 = COCH2OCH2CO2H, R2 = CH:CMe2) which reduced bovine fibroblast growth factor-induced angiogenesis in cornea of 8 of 8 rats evaluated after 7 days.

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

L3 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1990:552790 CAPLUS
DN 113:152790
OREF 113:25983a,25986a
TI Preparation of O-acylfumagillols and analogs as angiogenesis inhibitors
IN Kishimoto, Shoji; Fujita, Takeshi; Kanamaru, Tsuneo; Folkman, Moses Judah; Ingber, Donald
PA Takeda Chemical Industries, Ltd., Japan; Children's Medical Center Corp.
SO Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 357061	A1	19900307	EP 1989-116053	19890831	
	EP 357061	B1	19940608			
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	JP 03007222	A	19910114	JP 1989-223064	19890831	
	JP 06060095	B	19940810			
	CA 1329771	C	19940524	CA 1989-610069	19890831	
	AT 106726	T	19940615	AT 1989-116053	19890831	
	ES 2053890	T3	19940801	ES 1989-116053	19890831	
	KR 141692	B1	19980601	KR 1989-12555	19890831	
	US 5166172	A	19921124	US 1991-662120	19910228	<--
	US 5164410	A	19921117	US 1991-714436	19910613	<--
	US 5180738	A	19930119	US 1991-717876	19910613	<--
	US 5290807	A	19940301	US 1992-917827	19920721	<--
	US 5698586	A	19971216	US 1992-917842	19920721	<--
	JP 06256331	A	19940913	JP 1993-298750	19931129	
	JP 2858724	B2	19990217			
	CA 1340552	C	19990518	CA 1997-617081	19970818	
PRAI	JP 1988-219287	A	19880901			
	JP 1989-53537	A	19890306			
	US 1989-391980	A	19890810			
	US 1989-392028	B1	19890810			
	EP 1989-116053	A	19890831			
	US 1991-811800	B1	19911219			
	US 1991-811880	B1	19911219			

OS MARPAT 113:152790
GI



I

AB The title compds. [I; R1 = (un)substituted CH:CMe2, CH2CHMe2; R2 = substituted alkanoyl, aroyl, (un)substituted heteroarylcarbonyl, CONH2, alkyl, PhSO2, alkylsulfonyl, H2NSO2, alkoxycarbonyl, PhO2C] were prepared

Thus, fumagillol was stirred 2 h at 0° with ClCH2CONCO in CH2Cl2 containing dimethylaminopyridine to give I (R1 = CH:CMe2, R2 = CONHCOCH2Cl) which suppressed B16 mouse melanoma tumor growth to 20% that of controls after 3 wk in mice receiving 30 mg/kg s.c. every other day.

OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)